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Highly active Chlorotonil A Derivatives for the treatment of Malaria

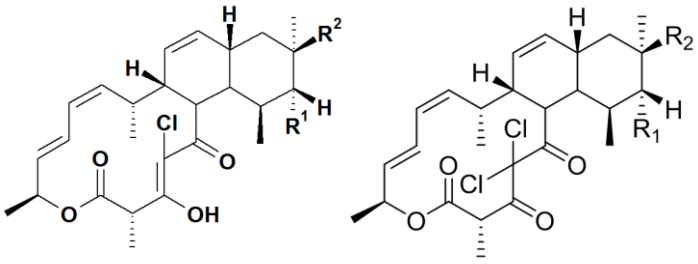
Keywords: Chlorotonil, malaria, gametocytocidal activity, antimalarial resistance, Plasmodium falciparum

INVENTION NOVELTY

Chlorotonil derivatives with enhanced water solubility and bioavailability have been developed to effectively target malaria, particularly its gametocyte stage. These novel macrolides exhibit potent activity against Plasmodium species, including those resistant to artemisinin, with promising results in both in vitro and in vivo models, offering a potential breakthrough in malaria control and eradication.

VALUE PROPOSITION

Malaria continues to threaten billions globally, with increasing resistance to common treatments like artemisinin. By targeting the gametocytes, which are responsible for transmission to mosquitoes, these new Chlorotonil derivatives provide a novel approach to interrupt the malaria cycle and prevent reinfection, complementing existing treatments and enhancing eradication efforts.



Improved Chlorotonil A (left) and B (right) Derivatives.

TECHNOLOGY DESCRIPTION

Semi-synthetic derivatives of Chlorotonil have been developed to enhance the treatment of malaria by targeting the gametocyte stage of *Plasmodium* parasites. These derivatives offer improved water solubility, bioavailability, and potent activity in the low nanomolar range, effectively combating both *P. falciparum* and chloroquine-resistant strains. Additionally, Chlorotonil is active against Gram-positive pathogens like VRE and MRSA, and its production processes, including fermentation and semi-synthesis, have been successfully established.

COMMERCIAL OPPORTUNITY

The invention is offered for licensing or co-development.

DEVELOPMENT STATUS

Optimization of the production strain is still to be done. Further derivatives of Chlorotonil A (and Chlorotonil B) are under investigation.

PATENT SITUATION

A European priority application has been filed in November 2017. A PCT-application in 2018 and an US regional/national application in 2020.

FURTHER READING

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